

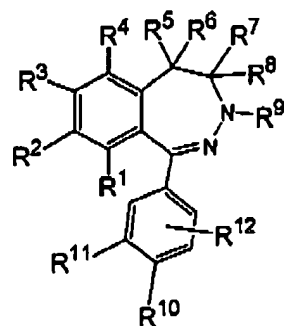
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Filed: June 15, 2001

AMENDMENT AND RESPONSE TO OFFICE ACTION

# APPENDIX II: CLEAN COPY OF CLAIMS AS PENDING

1. (amended) A compound of Formula I:



wherein

$R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are independently

H,

HO,

$R^{13}O-$ ,

Halogen,

C1-C3-alkyl,

$CF_3$ ,

$R^{14}CO_2-$ ,

$R^{14}O_2C-$ ,

$R^{14}CO-$ ,

$R^{14}CONH-$ ,

$R^{14}NHCO-$ ,

$R^{14}NHCO_2-$ ,

$R^{14}OCONH-$ ,

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 $R^{14}O_2S-$ , $R^{14}OS-$ , $R^{14}S-$ , or $R^{15}R^{16}N-$ ; or $R^1$  and  $R^2$ , or  $R^2$  and  $R^3$ , or  $R^3$  and  $R^4$  taken together can be $-SCH_2S-$ , $-SCH_2O-$ , $-OCH_2S-$ , $-SCH_2CH_2S-$ , $-SCH_2CH_2O-$ , or $-OCH_2CH_2S-$ ;wherein one of  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  must be C1-C3-alkoxy or C1-C3-alkylthio group; $R^5$ ,  $R^6$ ,  $R^7$ , and  $R^8$  are independently $H$ ,

C1-C6-alkyl,

C3-C6-alkenyl,

C3-C6-cycloalkyl,

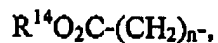
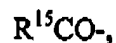
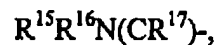
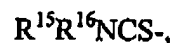
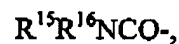
phenyl or substituted phenyl, wherein the phenyl is substituted with one or two

substituents, C1-C3-alkyl, halogen,  $R^{13}O-$ ,  $CF_3-$ ,  $R^{14}O_2S-$ ,  $R^{14}OS-$ ,  $R^{14}CO$ ,  $R^{14}CO_2-$ , $R^{14}O_2C-$ ,  $R^{14}CONH-$ ,  $R^{14}NHCO$ ; or $R^5$  and  $R^6$  taken together can be C3-C6-cycloalkyl; $R^7$  and  $R^8$  taken together can be C3-C6-cycloalkyl;

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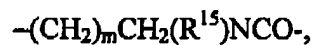
 $R^9$  is

H,

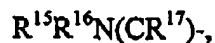
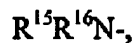
C1-C6-alkyl,

C3-C6-alkenyl, or

C3-C6-cycloalkyl; or

 $R^8$  and  $R^9$  taken together can be $R^{10}$  and  $R^{11}$  are independently

H,



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 $R^{14}\text{HNCO-}$ , or $R^{14}\text{CONH-}$ ; $R^{12}$  is

H,

Halogen,

HO,

 $R^{13}\text{O-}$ , $R^{15}R^{16}\text{N-}$ ,

C1-C3-alkyl,

 $\text{CF}_3$ , $R^{14}\text{CO}_2\text{-}$ , $R^{14}\text{CO-}$ , or $R^{14}\text{CONH-}$ ; $R^{13}$  is C1-C3-alkyl; $R^{14}$  is H or C1-C3-alkyl; $R^{15}$  and  $R^{16}$  are independently

H,

C1-C10-alkyl,

C1-C6-perfluoroalkyl,

C3-C10-alkenyl, or

C3-C6-cycloalkyl; or

 $R^{15}$  and  $R^{16}$  taken together can be C3-C6-cycloalkyl;

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$R^{17}$  is C1-C6-alkyl, C3-C6-alkenyl, or C3-C6-cycloalkyl;

$n$  is 1 to 6;

$m$  is 0 to 2;

and pharmaceutically acceptable salts thereof;

wherein  $R^{10}$  and  $R^{11}$  cannot be both H.

2. (amended) The compound of claim 1 of Formula I wherein

one of four substituents of  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  must be C1-C3-alkylthio group or C1-C3-alkoxy group, the other substituents are independently H,  $R^{13}O-$ ,  $R^{14}S-$ , halogen[ (F, Cl, Br)], or C1-C3-alkyl;

$R^2$  and  $R^3$  taken together can be  $-SCH_2S-$ ,  $-SCH_2O-$ , or  $-OCH_2S-$ ;

$R^9$  is

$R^{15}R^{16}NCO-$ ,

$R^{15}R^{16}NCS-$ ,

$R^{15}R^{16}N(CR^{17})-$ ,

$R^{17}OCO-$ , or

$R^{15}CO-$

H;

$R^{10}$  and  $R^{11}$  are independently H,  $H_2N-$ , or  $CH_3CONH-$ ; and pharmaceutically acceptable salts thereof.

3. (amended) A composition comprising the compound of claim 2 and a pharmaceutically acceptable carrier.

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4. (amended) The composition of claim 3 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the  $\alpha$ -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

5. (amended) The compound of claim 2 of Formula I selected from the group consisting of

1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-acetyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-

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8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-acetyl-  
8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-  
methylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-  
4-methyl-3-ethylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-  
3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-  
Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methoxy-5H-2,3-  
benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-7-methylthio-5H-2,3-  
benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methylthio-  
5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-  
methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-  
propylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-  
methyl-3-butylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-  
3,5-dihydro-4-methyl-3-acetyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-  
amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-  
Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methylthio-5H-2,3-  
benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-  
methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-  
butylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-  
methyl-3-acetyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-  
methyl-3-methylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-  
dihydro-4-methyl-3-ethylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-  
3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-

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Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-acetyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, and 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine.

6. (amended) A composition comprising the compound of claim 5 and a pharmaceutically acceptable carrier.

7. (amended) The composition of claim 6 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the  $\alpha$ -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

8. (amended) A composition comprising the compound of claim 1 and a pharmaceutically acceptable carrier.

9. (amended) The composition of claim 8 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the  $\alpha$ -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

10. (amended) A method for treating a patient having a disorder associated with excessive activation of the  $\alpha$ -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors, the method comprising



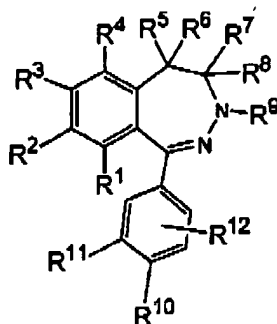
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administering to the patient, in an effective amount to alleviate the symptoms of the disorder, a

compound of Formula I:



wherein

 $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are independently

H,

HO,

 $R^{13}O-$ ,

halogen,

C1-C3-alkyl,

 $CF_3$ , $R^{14}CO_2-$ , $R^{14}O_2C-$ , $R^{14}CO-$ , $R^{14}CONH-$ , $R^{14}NHCO-$ , $R^{14}NHCO_2-$ , $R^{14}OCONH-$ ,

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 $R^{14}O_2S-$ , $R^{14}OS-$ , $R^{14}S-$ , or $R^{15}R^{16}N-$ ; or $R^1$  and  $R^2$ , or  $R^2$  and  $R^3$ , or  $R^3$  and  $R^4$  taken together can be $-SCH_2S-$ , $-SCH_2O-$ , $-OCH_2S-$ , $-SCH_2CH_2S-$ , $-SCH_2CH_2O-$ , or $-OCH_2CH_2S-$ ;wherein one of  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  must be C1-C3-alkoxy or C1-C3-alkylthio group; $R^5$ ,  $R^6$ ,  $R^7$ , and  $R^8$  are independently

H,

C1-C6-alkyl,

C3-C6-alkenyl,

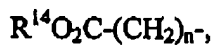
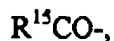
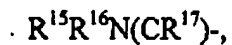
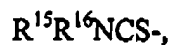
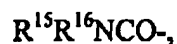
C3-C6-cycloalkyl,

phenyl or substituted phenyl, wherein the phenyl is substituted with one or two substituents, C1-C3-alkyl, halogen,  $R^{13}O-$ ,  $CF_3-$ ,  $R^{14}O_2S-$ ,  $R^{14}OS-$ ,  $R^{14}CO$ ,  $R^{14}CO_2-$ , $R^{14}O_2C-$ ,  $R^{14}CONH-$ ,  $R^{14}NHCO$ ; or $R^5$  and  $R^6$  taken together can be C3-C6-cycloalkyl; $R^7$  and  $R^8$  taken together can be C3-C6-cycloalkyl;

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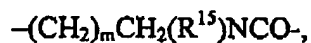
 $R^9$  is

H,

C1-C6-alkyl,

C3-C6-alkenyl, or

C3-C6-cycloalkyl; or

 $R^8$  and  $R^9$  taken together can be $R^{10}$  and  $R^{11}$  are independently

H,



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$R^{14}HNCO-$ , or

$R^{14}CONH-$ ;

$R^{12}$  is

H,

Halogen,

HO,

$R^{13}O-$ ,

$R^{15}R^{16}N-$ ,

C1-C3-alkyl,

$CF_3$ ,

$R^{14}CO_2-$ ,

$R^{14}CO-$ , or

$R^{14}CONH-$ ;

$R^{13}$  is C1-C3-alkyl;

$R^{14}$  is H or C1-C3-alkyl;

$R^{15}$  and  $R^{16}$  are independently

H,

C1-C10-alkyl,

C1-C6-perfluoroalkyl,

C3-C10-alkenyl, or

C3-C6-cycloalkyl; or

$R^{15}$  and  $R^{16}$  taken together can be C3-C6-cycloalkyl;

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$R^{17}$  is C1-C6-alkyl, C3-C6-alkenyl, or C3-C6-cycloalkyl;

$n$  is 1 to 6;

$m$  is 0 to 2;

and pharmaceutically acceptable salts thereof;

wherein  $R^{10}$  and  $R^{11}$  cannot be both H,

in combination with a pharmaceutically acceptable carrier.

11. (amended) The method of claim 10 wherein, in the compound of Formula I, one of four substituents of  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  must be C1-C3-alkylthio group or C1-C3-alkoxy group, the other substituents are independently H,  $R^{13}O-$ ,  $R^{14}S-$ , halogen, or C1-C3-alkyl;  $R^2$  and  $R^3$  taken together can be  $-SCH_2S-$ ,  $-SCH_2O-$ , or  $-OCH_2S-$ ;

$R^9$  is

$R^{15}R^{16}NCO-$ ,

$R^{15}R^{16}NCS-$ ,

$R^{15}R^{16}N(CR^{17})-$ ,

$R^{17}OCO-$ , or

$R^{15}CO-$ ,

H;

$R^{10}$  and  $R^{11}$  are independently H,  $H_2N-$ , or  $CH_3CONH-$ ; and pharmaceutically acceptable salts thereof.

12. The method of claim 11 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

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13. (amended) The method of claim 11 wherein the compound of Formula I is selected from the group consisting of

1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-acetyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-acetyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-

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3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-acetyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-acetyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-acetyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-methylcarbamoyl-8-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-ethylcarbamoyl-8-

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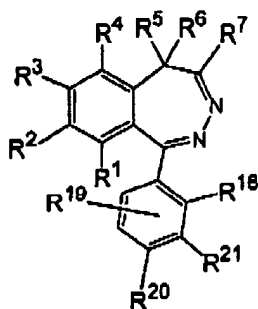
### AMENDMENT AND RESPONSE TO OFFICE ACTION

methylthio-5*H*-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-propylcarbamoyl-8-methylthio-5*H*-2,3-benzodiazepine, and 1-(4-Aminophenyl)-7-amino-3,5-dihydro-4-methyl-3-butylcarbamoyl-8-methylthio-5*H*-2,3-benzodiazepine.

14. The method of claim 13 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

15. The method of claim 10 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

16. (amended) A compound of Formula II:



wherein

$R^1$  and  $R^4$  are independently

H.

HO,

$$R^{13}O_-,$$

## Halogen,

**C1-C3-alkyl,**



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 $\text{CF}_3$ , $\text{R}^{14}\text{CO}_2-$ , $\text{R}^{14}\text{O}_2\text{C}-$ , $\text{R}^{14}\text{CO}-$ , $\text{R}^{14}\text{CONH}-$ , $\text{R}^{14}\text{NHCO}-$ , $\text{R}^{14}\text{NHCO}_2-$ , $\text{R}^{14}\text{OCONH}-$ , $\text{R}^{14}\text{O}_2\text{S}-$ , $\text{R}^{14}\text{OS}-$ , $\text{R}^{14}\text{S}-$ , or $\text{R}^{15}\text{R}^{16}\text{N}-$ ; or

$\text{R}^2$  is one of H, HO,  $\text{R}^{13}\text{O}-$ , halogen, C1-C3-alkyl,  $\text{CF}_3$ ,  $\text{R}^{14}\text{CO}_2-$ ,  $\text{R}^{14}\text{O}_2\text{C}-$ ,  $\text{R}^{14}\text{CO}-$ ,  $\text{R}^{14}\text{CONH}-$ ,  $\text{R}^{14}\text{NHCO}-$ ,  $\text{R}^{14}\text{NHCO}_2-$ ,  $\text{R}^{14}\text{OCONH}-$ ,  $\text{R}^{14}\text{O}_2\text{S}-$ ,  $\text{R}^{14}\text{OS}-$ ,  $\text{R}^{14}\text{S}-$  and  $\text{R}^{15}\text{R}^{16}\text{N}-$  when  $\text{R}^3$  is one of HO, halogen, C1-C3-alkyl,  $\text{CF}_3$ ,  $\text{R}^{14}\text{CO}_2-$ ,  $\text{R}^{14}\text{O}_2\text{C}-$ ,  $\text{R}^{14}\text{CO}-$ ,  $\text{R}^{14}\text{CONH}-$ ,  $\text{R}^{14}\text{NHCO}-$ ,  $\text{R}^{14}\text{NHCO}_2-$ ,  $\text{R}^{14}\text{OCONH}-$ ,  $\text{R}^{14}\text{O}_2\text{S}-$ ,  $\text{R}^{14}\text{OS}-$ ,  $\text{R}^{14}\text{S}-$ , and  $\text{R}^{15}\text{R}^{16}\text{N}-$ ; or

$\text{R}^2$  is one of H, HO, halogen, C1-C3-alkyl,  $\text{CF}_3$ ,  $\text{R}^{14}\text{CO}_2-$ ,  $\text{R}^{14}\text{O}_2\text{C}-$ ,  $\text{R}^{14}\text{CO}-$ ,  $\text{R}^{14}\text{CONH}-$ ,  $\text{R}^{14}\text{NHCO}-$ ,  $\text{R}^{14}\text{NHCO}_2-$ ,  $\text{R}^{14}\text{OCONH}-$ ,  $\text{R}^{14}\text{O}_2\text{S}-$ ,  $\text{R}^{14}\text{OS}-$ ,  $\text{R}^{14}\text{S}-$  and  $\text{R}^{15}\text{R}^{16}\text{N}-$  when  $\text{R}^3$  is one of H, HO,  $\text{R}^{13}\text{O}-$ , halogen, C1-C3-alkyl,  $\text{CF}_3$ ,  $\text{R}^{14}\text{CO}_2-$ ,  $\text{R}^{14}\text{O}_2\text{C}-$ ,  $\text{R}^{14}\text{CO}-$ ,  $\text{R}^{14}\text{CONH}-$ ,  $\text{R}^{14}\text{NHCO}-$ ,  $\text{R}^{14}\text{NHCO}_2-$ ,  $\text{R}^{14}\text{OCONH}-$ ,  $\text{R}^{14}\text{O}_2\text{S}-$ ,  $\text{R}^{14}\text{OS}-$ ,  $\text{R}^{14}\text{S}-$ , and  $\text{R}^{15}\text{R}^{16}\text{N}-$ ; or

$\text{R}^1$  and  $\text{R}^2$ , or  $\text{R}^2$  and  $\text{R}^3$ , or  $\text{R}^3$  and  $\text{R}^4$  taken together can be

 $-\text{SCH}_2\text{S}-$ ,

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-SCH<sub>2</sub>O-,-OCH<sub>2</sub>S-,-SCH<sub>2</sub>CH<sub>2</sub>S-,-SCH<sub>2</sub>CH<sub>2</sub>O-, or-OCH<sub>2</sub>CH<sub>2</sub>S-; or

one of four substituents of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> must be C1-C3-alkoxy or C1-C3-alkylthio group;

R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup> are independently

H,

C1-C6-alkyl,

C3-C6-alkenyl,

C3-C6-cycloalkyl, or

phenyl or substituted phenyl, wherein the phenyl is substituted with one or two

substituents, C1-C3-alkyl, halogen, R<sup>13</sup>O-, CF<sub>3</sub>-, R<sup>14</sup>O<sub>2</sub>S-, R<sup>14</sup>OS-, R<sup>14</sup>CO, R<sup>14</sup>CO<sub>2</sub>-,R<sup>14</sup>O<sub>2</sub>C-, R<sup>14</sup>CONH-, R<sup>14</sup>NHCO; orR<sup>5</sup> and R<sup>6</sup> taken together can be C3-C6-cycloalkyl;R<sup>13</sup> is C1-C3-alkyl;R<sup>14</sup> is H or C1-C3-alkyl;R<sup>15</sup> and R<sup>16</sup> are independently

H,

C1-C10-alkyl,

C1-C6-perfluoroalkyl,

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C3-C10-alkenyl, or

C3-C6-cycloalkyl; or

R<sup>15</sup> and R<sup>16</sup> taken together can be C3-C6-cycloalkyl;

R<sup>18</sup> and R<sup>19</sup> are independently

H,

Halogen,

C1-C3-alkyl,

R<sup>14</sup>O-,

CF<sub>3</sub>-, or

R<sup>14</sup>CO<sub>2</sub>-;

R<sup>20</sup> and R<sup>21</sup> are independently

H,

R<sup>15</sup>R<sup>16</sup>N-,

R<sup>15</sup>HNC(NH)-, or

R<sup>14</sup>CONH-;

and pharmaceutically acceptable salts thereof;

wherein R<sup>20</sup> and R<sup>21</sup> cannot both be H.

17. (amended) The compound of claim 16 of Formula II wherein

one of four substituents of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> must be C1-C3-alkylthio or C1-C3-alkoxy group,

the other substituents are independently H, R<sup>13</sup>O-, R<sup>13</sup>S-, halogen, or C1-C3-alkyl;

R<sup>2</sup> and R<sup>3</sup> taken together can be -SCH<sub>2</sub>S-, -SCH<sub>2</sub>O-, or -OCH<sub>2</sub>S-;

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$R^{20}$  and  $R^{21}$  are independently H,  $H_2N-$ , or  $CH_3CONH-$ ; and pharmaceutically acceptable salts thereof.

18. (amended) A composition comprising the compound of claim 17 and a pharmaceutically acceptable carrier.

19. (amended) The composition of claim 18 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the  $\alpha$ -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

20. (amended) The compound of claim 17 of Formula II selected from the group consisting of

1-(4-Aminophenyl)-4-methyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-4-methyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-4-methyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-4-methyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-4-methyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-4-methyl-8-methylthio-5H-2,3-benzodiazepine, and 1-(4-Aminophenyl)-7-amino-4-methyl-8-methylthio-5H-2,3-benzodiazepine.

21. (amended) A composition comprising the compound of claim 20 and a pharmaceutically acceptable carrier.

22. (amended) The composition of claim 21 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the  $\alpha$ -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

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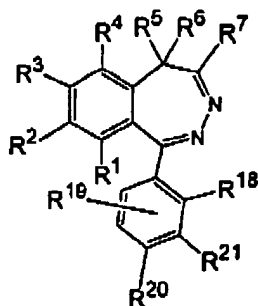
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23. (amended) A composition comprising the compound of claim 16 and a pharmaceutically acceptable carrier.

24. (amended) The composition of claim 23 in a dosage form comprising a therapeutically effective amount of the compound for treating a disorder in a patient associated with excessive activation of the  $\alpha$ -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors.

25. (amended) A method for treating a patient having a disorder associated with excessive activation of the  $\alpha$ -amino-3-hydroxy-5-methyl-4-isooxazolepropionic acid (AMPA) subtype of the ionotropic excitatory amino acid (EAA) receptors, the method comprising administering to the patient, in an effective amount to alleviate the symptoms of the disorder, a compound of Formula II:



wherein

R<sup>1</sup> and R<sup>4</sup> are independently

H,

HO,

R<sup>13</sup>O-,

Halogen,

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C1-C3-alkyl,

 $\text{CF}_3$ , $\text{R}^{14}\text{CO}_2^-$ , $\text{R}^{14}\text{O}_2\text{C}-$ , $\text{R}^{14}\text{CO}-$ , $\text{R}^{14}\text{CONH}-$ , $\text{R}^{14}\text{NHCO}-$ , $\text{R}^{14}\text{NHCO}_2^-$ , $\text{R}^{14}\text{OCONH}-$ , $\text{R}^{14}\text{O}_2\text{S}-$ , $\text{R}^{14}\text{OS}-$ , $\text{R}^{14}\text{S}-$ , or $\text{R}^{15}\text{R}^{16}\text{N}-$ ; or

$\text{R}^2$  is one of H, HO,  $\text{R}^{13}\text{O}-$ , halogen, C1-C3-alkyl,  $\text{CF}_3$ ,  $\text{R}^{14}\text{CO}_2^-$ ,  $\text{R}^{14}\text{O}_2\text{C}-$ ,  $\text{R}^{14}\text{CO}-$ ,  $\text{R}^{14}\text{CONH}-$ ,  $\text{R}^{14}\text{NHCO}-$ ,  $\text{R}^{14}\text{NHCO}_2^-$ ,  $\text{R}^{14}\text{OCONH}-$ ,  $\text{R}^{14}\text{O}_2\text{S}-$ ,  $\text{R}^{14}\text{OS}-$ ,  $\text{R}^{14}\text{S}-$  and  $\text{R}^{15}\text{R}^{16}\text{N}-$  when  $\text{R}^3$  is one of HO, halogen, C1-C3-alkyl,  $\text{CF}_3$ ,  $\text{R}^{14}\text{CO}_2^-$ ,  $\text{R}^{14}\text{O}_2\text{C}-$ ,  $\text{R}^{14}\text{CO}-$ ,  $\text{R}^{14}\text{CONH}-$ ,  $\text{R}^{14}\text{NHCO}-$ ,  $\text{R}^{14}\text{NHCO}_2^-$ ,  $\text{R}^{14}\text{OCONH}-$ ,  $\text{R}^{14}\text{O}_2\text{S}-$ ,  $\text{R}^{14}\text{OS}-$ ,  $\text{R}^{14}\text{S}-$ , and  $\text{R}^{15}\text{R}^{16}\text{N}-$ ; or

$\text{R}^2$  is one of H, HO, halogen, C1-C3-alkyl,  $\text{CF}_3$ ,  $\text{R}^{14}\text{CO}_2^-$ ,  $\text{R}^{14}\text{O}_2\text{C}-$ ,  $\text{R}^{14}\text{CO}-$ ,  $\text{R}^{14}\text{CONH}-$ ,  $\text{R}^{14}\text{NHCO}-$ ,  $\text{R}^{14}\text{NHCO}_2^-$ ,  $\text{R}^{14}\text{OCONH}-$ ,  $\text{R}^{14}\text{O}_2\text{S}-$ ,  $\text{R}^{14}\text{OS}-$ ,  $\text{R}^{14}\text{S}-$  and  $\text{R}^{15}\text{R}^{16}\text{N}-$  when  $\text{R}^3$  is one of H, HO,  $\text{R}^{13}\text{O}-$ , halogen, C1-C3-alkyl,  $\text{CF}_3$ ,  $\text{R}^{14}\text{CO}_2^-$ ,  $\text{R}^{14}\text{O}_2\text{C}-$ ,  $\text{R}^{14}\text{CO}-$ ,  $\text{R}^{14}\text{CONH}-$ ,  $\text{R}^{14}\text{NHCO}-$ ,  $\text{R}^{14}\text{NHCO}_2^-$ ,  $\text{R}^{14}\text{OCONH}-$ ,  $\text{R}^{14}\text{O}_2\text{S}-$ ,  $\text{R}^{14}\text{OS}-$ ,  $\text{R}^{14}\text{S}-$ , and  $\text{R}^{15}\text{R}^{16}\text{N}-$ ; or

$\text{R}^1$  and  $\text{R}^2$ , or  $\text{R}^2$  and  $\text{R}^3$ , or  $\text{R}^3$  and  $\text{R}^4$  taken together can be

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-SCH<sub>2</sub>S-,-SCH<sub>2</sub>O-,-OCH<sub>2</sub>S-,-SCH<sub>2</sub>CH<sub>2</sub>S-,-SCH<sub>2</sub>CH<sub>2</sub>O-, or-OCH<sub>2</sub>CH<sub>2</sub>S-; or

one of four substituents of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> must be C1-C3-alkoxy or C1-C3-alkylthio group;

R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup> are independently

H,

C1-C6-alkyl,

C3-C6-alkenyl,

C3-C6-cycloalkyl, or

phenyl or substituted phenyl, wherein the phenyl is substituted with one or two substituents, C1-C3-alkyl, halogen, R<sup>13</sup>O-, CF<sub>3</sub>-, R<sup>14</sup>O<sub>2</sub>S-, R<sup>14</sup>OS-, R<sup>14</sup>CO, R<sup>14</sup>CO<sub>2</sub>-, R<sup>14</sup>O<sub>2</sub>C-, R<sup>14</sup>CONH-, R<sup>14</sup>NHCO; or

R<sup>5</sup> and R<sup>6</sup> taken together can be C3-C6-cycloalkyl;

R<sup>13</sup> is C1-C3-alkyl;

R<sup>14</sup> is H or C1-C3-alkyl;

R<sup>15</sup> and R<sup>16</sup> are independently

H,

C1-C10-alkyl,

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C1-C6-perfluoroalkyl,

C3-C10-alkenyl, or

C3-C6-cycloalkyl; or

 $R^{15}$  and  $R^{16}$  taken together can be C3-C6-cycloalkyl; $R^{18}$  and  $R^{19}$  are independently

H,

Halogen,

C1-C3-alkyl,

 $R^{14}O-$ , $CF_3-$ , or $R^{14}CO_2-$ ; $R^{20}$  and  $R^{21}$  are independently

H,

 $R^{15}R^{16}N-$ , $R^{15}HNC(NH)-$ , or $R^{14}CONH-$ ;

and pharmaceutically acceptable salts thereof;

wherein  $R^{20}$  and  $R^{21}$  cannot both be H,

in combination with a pharmaceutically acceptable carrier.

26. (amended) The method of claim 25 wherein, in the compound of Formula II, one of four substituents of  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  must be C1-C3-alkylthio or C1-C3-alkoxy group, the other substituents are independently H,  $R^{13}O-$ ,  $R^{13}S-$ , halogen, or C1-C3-alkyl;



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$R^2$  and  $R^3$  taken together can be  $-SCH_2S-$ ,  $-SCH_2O-$ , or  $-OCH_2S-$ ;

$R^{20}$  and  $R^{21}$  are independently H,  $H_2N-$ , or  $CH_3CONH-$ ; and pharmaceutically acceptable salts thereof.

27. The method of claim 26 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

28. (amended) The method of claim 26 wherein the compound of Formula II is selected from the group consisting of

1-(4-Aminophenyl)-4-methyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-4-methyl-7-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-7-amino-4-methyl-8-methoxy-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-4-methyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-8-amino-4-methyl-7-methylthio-5H-2,3-benzodiazepine, 1-(4-Aminophenyl)-4-methyl-8-methylthio-5H-2,3-benzodiazepine, and 1-(4-Aminophenyl)-7-amino-4-methyl-8-methylthio-5H-2,3-benzodiazepine.

29. The method of claim 28 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

30. The method of claim 25 wherein the disorder is selected from the group consisting of neurological, neuropsychological, neuropsychiatric, neurodegenerative, neuropsychopharmacological and functional disorders.

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